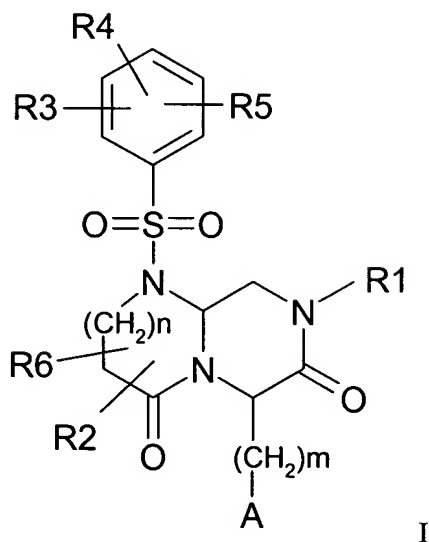


We claim:

DEAV 2003/0072

1. A compound of the formula I:

5



wherein

10 A is a 3-, 4-, 5-, 6-, 7-, 8-, 9-, 10-, 11-, and 12-membered mono-, bi- or spirobicyclic ring containing one or more heteroatoms selected from the group of N, O and S, and is optionally substituted with F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, aryl, CON(R<sub>11</sub>)(R<sub>12</sub>), N(R<sub>13</sub>)(R<sub>14</sub>), OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N(R<sub>15</sub>)CO(C<sub>1</sub>-C<sub>6</sub>)-alkyl or COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

15

R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub> are each independently H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or a heterocycle;

n is 0 or 1;

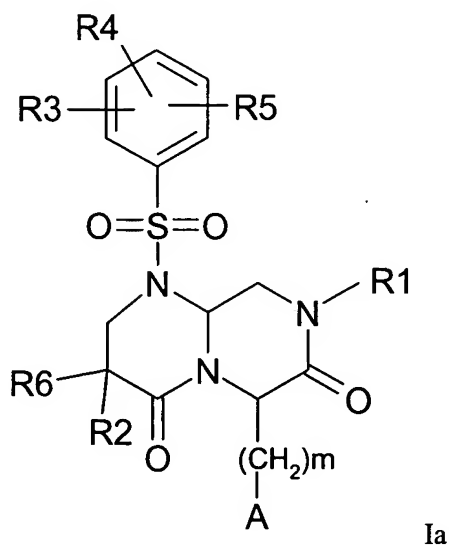
20 m is 0, 1, 2, 3, 4, 5 or 6;

- R1 is R8, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (SO<sub>2</sub>)-R8, (SO<sub>2</sub>)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (SO<sub>2</sub>)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-R8, (C=O)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-NH-R8, (C=O)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-NH-  
 5 (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-NH- (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, COO-R8, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, COO-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, alkynylene-R9 or (C<sub>1</sub>-C<sub>4</sub>-alkyl)-heterocycle, wherein the alkylene component of said (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (SO<sub>2</sub>)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (SO<sub>2</sub>)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-NH-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-NH- (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, COO-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9 and alkynylene-R9 groups is optionally substituted by F;  
 10
- R8, R9 are each independently H, F, Cl, Br, I, OH, CF<sub>3</sub>, aryl, heterocycle or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein said aryl, heterocycle and (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl groups are optionally mono-, di- or tri-substituted by F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, CON(R11)(R12), N(R13)(R14), SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CONH<sub>2</sub>;  
 15
- R2 is NH<sub>2</sub>, NO<sub>2</sub>, N(R13)(R14), NH-SO<sub>2</sub>-CH<sub>3</sub>, NH-SO<sub>2</sub>-R12, NR11-SO<sub>2</sub>-R12, N(CO)R11, NHCONR11, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)N<sup>+</sup>(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub> or a nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom;  
 20
- R3, R4, R5 are each independently H, F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl; (C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, aryl, O-aryl (C<sub>1</sub>-C<sub>8</sub>)-alkylene-aryl, O-(C<sub>1</sub>-C<sub>8</sub>)-alkylene-aryl, S-aryl, N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>, SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CO-N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>;  
 25  
 30

R6 is H, F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>0</sub>-C<sub>8</sub>)-alkylene-aryl, O-(C<sub>0</sub>-C<sub>8</sub>)-alkylene-aryl, S-aryl, N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>, SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CO-N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>;

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 having the following structure Ia



wherein

A is a 3-, 4-, 5-, 6-, 7-, 8-, 9-, 10-, 11-, and 12-membered mono-, bi- or spirobicyclic ring containing one or more heteroatoms selected from the group of N, O and S, and is optionally substituted with F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, aryl, CON(R<sub>11</sub>)(R<sub>12</sub>), N(R<sub>13</sub>)(R<sub>14</sub>), OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N(R<sub>15</sub>)CO(C<sub>1</sub>-C<sub>6</sub>)-alkyl or COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub> are each independently H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or a heterocycle;

- m is 0, 1, 2, 3, 4, 5 or 6;
- 5 R1 is R8, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (SO<sub>2</sub>)-R8, (SO<sub>2</sub>)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (SO<sub>2</sub>)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-R8, (C=O)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)NH-R8, (C=O)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-NH-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-NH-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, COO-R8, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, COO-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, alkynylene-R9 or (C<sub>1</sub>-C<sub>4</sub>-alkyl)-heterocycle;
- 10 R8, R9 are each independently H, F, Cl, Br, I, OH, CF<sub>3</sub>, aryl, heterocycle or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein said aryl, heterocycle and (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl groups are optionally mono-, di- or tri-substituted by F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, CON(R11)(R12), N(R13)(R14),
- 15 SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CONH<sub>2</sub>;
- R2 is NH<sub>2</sub>, NO<sub>2</sub>, N(R13)(R14), NH-SO<sub>2</sub>-CH<sub>3</sub>, NH-SO<sub>2</sub>-R12, NR11-SO<sub>2</sub>-R12, N(CO)R11, NHCONR11, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)N<sup>+</sup>(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub> or a nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen
- 20 atom;
- R3, R4, R5 are each independently H, F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl,
- 25 O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, aryl, O-aryl (C<sub>1</sub>-C<sub>8</sub>)-alkylene-aryl, O-(C<sub>1</sub>-C<sub>8</sub>)-alkylene-aryl, S-aryl, N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>, SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CO-N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>;
- R6 is H, F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkoxy-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl,
- 30 (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, O-(C<sub>3</sub>-C<sub>8</sub>)-cycloalkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, aryl, O-aryl, (C<sub>1</sub>-C<sub>8</sub>)-alkylene-aryl, O-(C<sub>1</sub>-

C<sub>8</sub>)-alkylene-aryl, S-aryl, N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>, SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CO-N((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>;

and pharmaceutically acceptable salts thereof.

5

3. The compound of Claim 2 wherein

A is aryl wherein said aryl is optionally substituted by F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, aryl, CON(R11)(R12), N(R13)(R14), OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N(R15)CO(C<sub>1</sub>-C<sub>6</sub>)-alkyl or COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

10

R11, R12, R13, R14, R15 are each independently H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or heterocycle;

m is 1;

15

R1 is R8, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (SO<sub>2</sub>)-R8, (SO<sub>2</sub>)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (SO<sub>2</sub>)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-R8, (C=O)-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)NH-R8, (C=O)-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, (C=O)-NH-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, (C=O)-NH-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, COO-R8, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkylene-R8, COO-(C<sub>2</sub>-C<sub>6</sub>)-alkenylene-R9, alkynylene-R9 or (C<sub>1</sub>-C<sub>4</sub>-alkyl)-heterocycle;

20

R8, R9 are each independently H, F, Cl, Br, I, OH, CF<sub>3</sub>, aryl, heterocycle or (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl, wherein said aryl, heterocycle and (C<sub>3</sub>-C<sub>8</sub>)-cycloalkyl groups are optionally mono-, di-, or tri-substituted by F, Cl, Br, I, OH, CF<sub>3</sub>, NO<sub>2</sub>, CN, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, CON(R11)(R12), N(R13)(R14), SO<sub>2</sub>-CH<sub>3</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CONH<sub>2</sub>;

25

R2 is NH<sub>2</sub>, NO<sub>2</sub>, N(R13)(R14), NH-SO<sub>2</sub>-CH<sub>3</sub>, NH-SO<sub>2</sub>-R12, NR11-SO<sub>2</sub>-R12, N(CO)R11, NHCONR11, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)N<sup>+</sup>(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub> or a nitrogen-

30

containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom,

- 5 R3 is H
- R4, R5 are each independently H, F, Cl, Br, OH, CF<sub>3</sub>, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;
- R6 is H;
- 10 and pharmaceutically acceptable salts thereof.

4. The compound of Claim 3 wherein

- 15 A is aryl, wherein said aryl group is optionally substituted by F, Cl, Br, NO<sub>2</sub>, CF<sub>3</sub>, OCF<sub>3</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, aryl, CON(R<sub>11</sub>)(R<sub>12</sub>), N(R<sub>13</sub>)(R<sub>14</sub>), OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N(R<sub>15</sub>)CO(C<sub>1</sub>-C<sub>6</sub>)-alkyl or COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

- 20 R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub> are each independently H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or heterocycle;

m is 1;

- R1 is (C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-R<sub>8</sub>;

- 25 R<sub>8</sub>, R<sub>9</sub> are each independently F, Cl, Br, I, OH or CF<sub>3</sub>;

- R2 is NH<sub>2</sub>, NO<sub>2</sub>, CN, N(R<sub>13</sub>)(R<sub>14</sub>), NH-SO<sub>2</sub>-CH<sub>3</sub>, NH-SO<sub>2</sub>-R<sub>12</sub>, NR<sub>11</sub>-SO<sub>2</sub>-R<sub>12</sub>, N(CO)R<sub>11</sub>, NHCONR<sub>11</sub>, N(C<sub>1</sub>-C<sub>6</sub>-alkyl)N<sup>+</sup>(C<sub>1</sub>-C<sub>4</sub>-alkyl)<sub>3</sub> or a
- 30 nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom,

R3 is H;

R4 is F, Cl, Br, OH, CF<sub>3</sub>, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

R5 is H, F, Cl, Br, OH, CF<sub>3</sub>, OCF<sub>3</sub>, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

5 R6 is H;

and pharmaceutically acceptable salts thereof.

5. A pharmaceutical composition comprising a compound of Claim 1 and a  
10 pharmaceutically acceptable carrier.

6. The pharmaceutical composition of Claim 5 further comprising one or more anorectic active ingredients.

15 7. The pharmaceutical composition of Claim 5 further comprising one or more statins.

8. The pharmaceutical composition of claim 5 further comprising one or more antidiabetics, hypoglycemic active ingredients, HMGCoA reductase inhibitors, cholesterol absorption inhibitors, PPAR gamma agonists, PPAR alpha agonists, PPAR alpha/gamma  
20 agonists, fibrates, MTP inhibitors, bile acid adsorption inhibitors, CETP inhibitors, polymeric bile acid adsorbents, LDL receptor inducers, ACAT inhibitors, antioxidants, lipoprotein lipase inhibitors, ATP-citrate lyase inhibitors, squalene synthetase inhibitors, lipoprotein(a) antagonists, lipase inhibitors, insulins, sulfonylureas, biguanides, meglitinides, thiazolidinediones,  $\alpha$ -glucosidase inhibitors, active ingredients acting on the  
25 ATP-dependent potassium channel of beta cells, CART agonists, NPY agonists, MC4 agonists, orexin agonists, H3 agonists, TNF agonists, CRF agonists, CRF BP-antagonists, urocortin agonists,  $\beta$ 3 agonists, MSH (melanocyte-stimulating hormone) agonists, CCK agonists, serotonin reuptake inhibitors, mixed serotoninergic and noradrenergic compounds, 5HT agonists, bombesin agonists, galanin antagonists, growth hormones, growth hormone-  
30 releasing compounds, TRH agonists, uncoupling protein 2 or 3 modulators, leptin agonists,

DA agonists (bromocriptine, Doprexin), lipase/amylase inhibitors, PPAR modulators, RXR modulators or TR- $\beta$  agonists or amphetamines.

9. A method of treating obesity comprising administering to a patient in need thereof a  
5 compound of Claim 1.

10. A method of treating obesity comprising administering to a patient in need thereof a compound of Claim 1 in combination with at least one further anorectic active ingredient.

10 11. A method of treating type II diabetes comprising administering to a patient in need thereof a compound of Claim 1.

12. A method of treating type II diabetes comprising administering to a patient in need thereof a compound of Claim 1 in combination with at least one further anorectic active  
15 ingredient.

13. A method of reducing weight in mammals comprising administering to a patient in need thereof a compound of Claim 1.

20 14. A method of treating metabolic syndrome comprising administering to a patient in need thereof a compound of Claim 1.

15. A method of treating female and male sexual disorders comprising administering to a patient in need thereof a compound of Claim 1.

25